

SEARCH REQUEST FORM

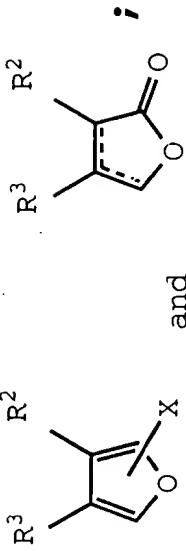
Requestor's Name: R. Dent Serial Number: 1003
Date: 10/3/85 Phone: 308 4544 Art Unit: 1003

Please write
that may have
a copy of

35. A compound of a formula selected from

Any terms
use attach

standard gland
attached



wherein X is H or hydroxyl;

wherein R₂ is a substituent selected from pyridyl, and aryl optionally substituted at a substitutable position with a radical selected from halo, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, nitro, amino, lower alkylamino, sulfamyl and lower alkylsulfamoyl sulfonamido; and

wherein R³ is a substituent selected from pyridyl, and aryl optionally substituted at a substitutable position with a radical selected from halo, lower alkyl, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, nitro, amino, lower alkylamino, amide, lower alkylsulfonylamino and sulfamyl;

provided that at least one of said R² and R³ substituents is substituted with lower alkylsulfonyl or sulfonyl;

=> FIL REG

FILE 'REGISTRY' ENTERED AT 11:08:24 ON 30 OCT 95

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STRUCTURE FILE UPDATES: 27 OCT 95 HIGHEST RN 169435-71-6

DICTIONARY FILE UPDATES: 29 OCT 95 HIGHEST RN 169435-71-6

TSCA INFORMATION NOW CURRENT THROUGH JUNE 1995

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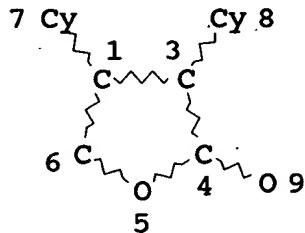
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=> D QUE L15

L9

STR



NODE ATTRIBUTES:

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DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 7

GGCAT IS UNS AT 8

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

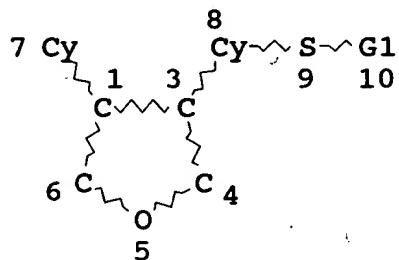
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L11 SCR 1840 AND 2005 AND 2021 AND 72

L13 STR



VAR G1=C/N

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 7

GGCAT IS UNS AT 8

DEFAULT ECLEVEL IS LIMITED

Ser. No. 08/425022
applicant berksnaw

This is the search for
Cpd.s. wherein X is
OH

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE

L15 48 SEA FILE=REGISTRY SSS FUL L9 AND L13 AND L11

=> FIL CAPLUS

FILE 'CAPLUS' ENTERED AT 11:08:31 ON 30 OCT 95
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FILE COVERS 1967 - 30 Oct 1995 VOL 123 ISS 18
FILE LAST UPDATED: 28 Oct 1995 (951028/ED)

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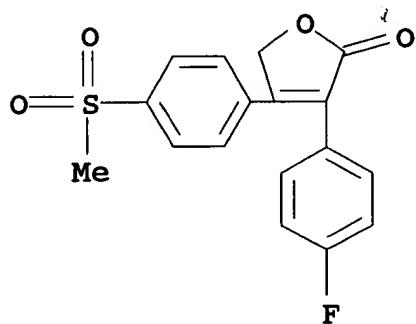
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=> S L15
L17 5 L15

=> D BIB ABS HITSTR 1

L17 ANSWER 1 OF 5 CAPLUS COPYRIGHT 1995 ACS
 AN 1995:863354 CAPLUS
 TI Substituted spiro compounds for the treatment of inflammation
 IN Reitz, David B.; Manning, Robert E.; Huang, Horng Chi; Li, Jinglin
 PA G.D. Searle and Co., USA
 SO U.S., 40 pp.
 CODEN: USXXAM
 PI US 5393790 A 950228
 AI US 94-194762 940210
 DT Patent
 LA English
 AB A class of substituted spiro compds. is described for use in treating inflammation and inflammation-related disorders. Compds. of particular interest are defined by formula I wherein A is selected from II-V and wherein each of R1 through R10 is independently selected from hydrido, halo, alkyl, alkoxy, alkylthio, cyano, haloalkyl, haloalkoxy, hydroxylalkyl, alkoxyalkyl, hydroxyl, mercapto, alkylsulfonyl, haloalkylsulfonyl and sulfamyl; and wherein n is a no. selected from 0, 1, 2 and 3; or a pharmaceutically-acceptable salt thereof. Thus, e.g., 5-(4-fluorophenyl)-6-[(4-methylsulfonyl)phenyl]spiro[2.4]hept-5-ene (VI), prepd. in 86% yield by cyclization of 1-[2-(4-fluorophenyl)-4,4-di(tosyloxymethyl)cyclopenten-1-yl]-4-(methylsulfonyl)benzene (prepn. given) in presence of NaI/Zn, demonstrated 32% inhibition of rat paw edema and 15% inhibition of the hyperalgesic foot withdrawal at 10 mg/kg body wt., and ID₅₀ of <0.1 .mu.M for inhibition of cyclooxygenase II (ID₅₀ = 14 for COX I).
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RN 157672-00-9 CAPLUS
CN 2(5H)-Furanone, 3-(4-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]-
(9CI) (CA INDEX NAME)



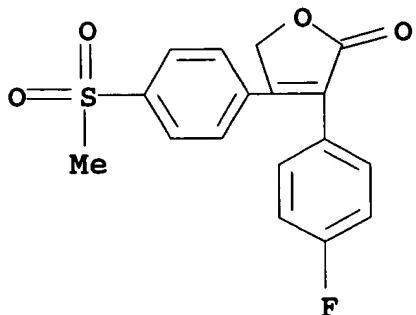
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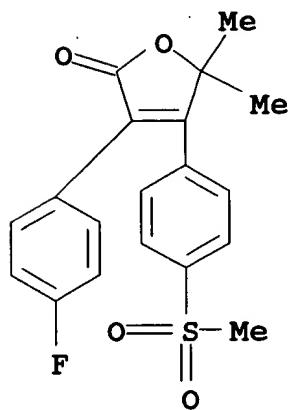
Ducharme

L17 ANSWER 2 OF 5 CAPLUS COPYRIGHT 1995 ACS
 AN 1995:468615 CAPLUS *08/179467 US 5474995 12/12/95*
 TI (Phenyl)heterocyclic compounds as cyclooxygenase inhibitors
 IN Ducharme, Yves; Gauthier, Jacques Yves; Prasit, Petpiboon; Leblanc,
 Yves; Wang, Zhaoxin; Leger, Serge; Therien, Michel
 PA Merck Frosst Canada Inc., Can.
 SO PCT Int. Appl., 167 pp.
 CODEN: PIXXD2
 PI WO 9500501 A2 *950105*
 DS W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ,
 LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT,
 UA, US, UZ
 RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR,
 IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
 AI WO 94-CA318 940609
 PRAI US 93-82196 *930624*
 US 94-179467 *940110*,
 DT Patent
 LA English
 AB The title compds. I (XYZ form heterocyclic ring; R1 = alkylsulfonyl,
 aminosulfonyl, etc.; R2 = alkyl, halo, etc.) were disclosed as
 cyclooxygenase inhibitors (inflammation inhibitors). Example
 compds. are 2,3-diphenylthiophenes and 3,4-diphenylfuran derivs.
 Prepd. example compds. are 2-[4-(4-fluorophenyl)-3-
 thienyl]benzenesulfonamide (II) and 3-(3,4-dichlorophenyl)-4-[4-
 (methylsulfonyl)phenyl]dihydro-2(3H)-furanone (III).
 IT RN LIST MAY NOT BE COMPLETE: 103-82-2 104-95-0 459-04-1
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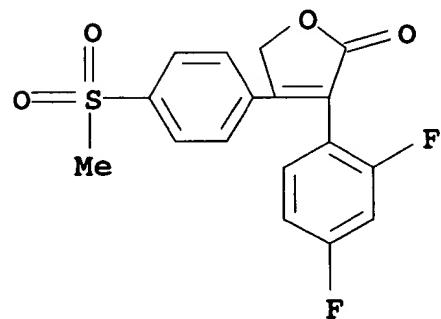
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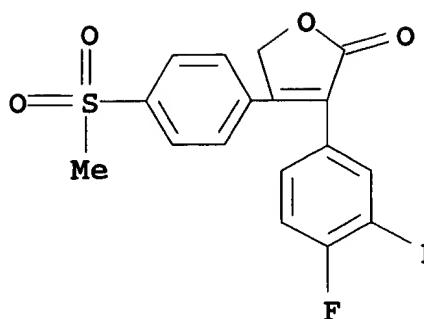
RN 162011-80-5 CAPLUS
 CN 2(5H)-Furanone, 3-(4-fluorophenyl)-5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 162011-82-7 CAPLUS
 CN 2(5H)-Furanone, 3-(2,4-difluorophenyl)-4-[4-(methylsulfonyl)phenyl]-
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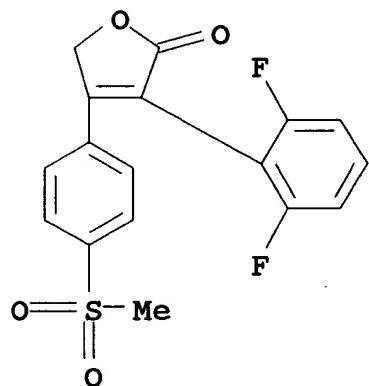


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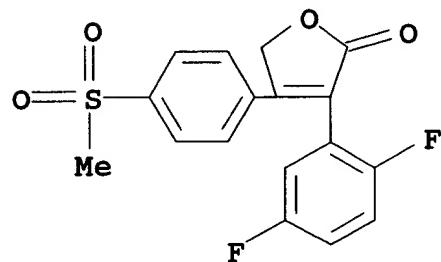
RN 162011-84-9 CAPLUS

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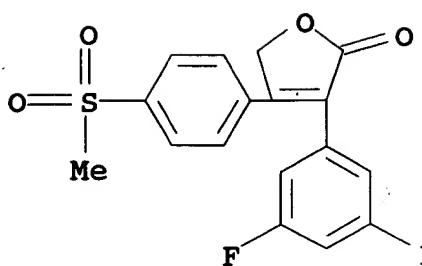
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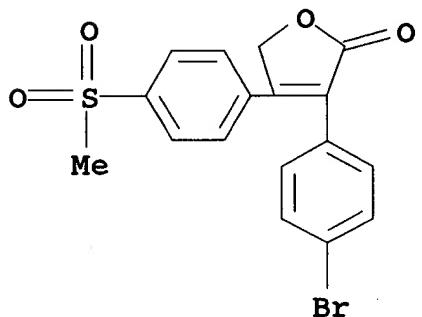


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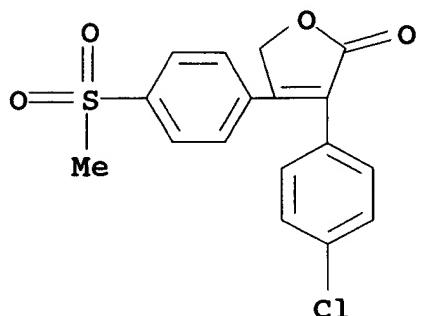
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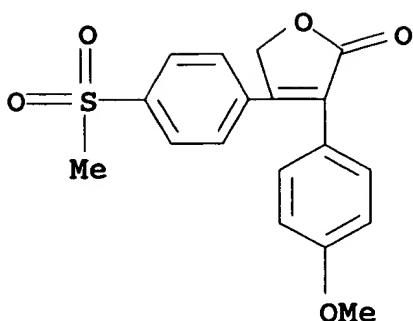
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CN 2(5H)-Furanone, 3-(4-bromophenyl)-4-[4-(methylsulfonyl)phenyl]-
(9CI) (CA INDEX NAME)



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CN 2(5H)-Furanone, 3-(4-chlorophenyl)-4-[4-(methylsulfonyl)phenyl]-
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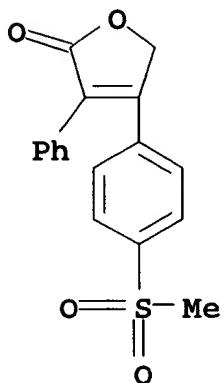


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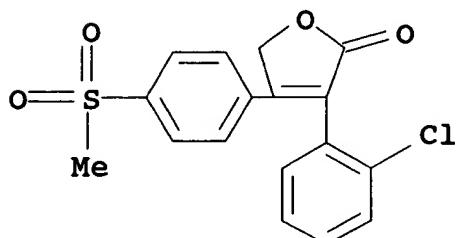
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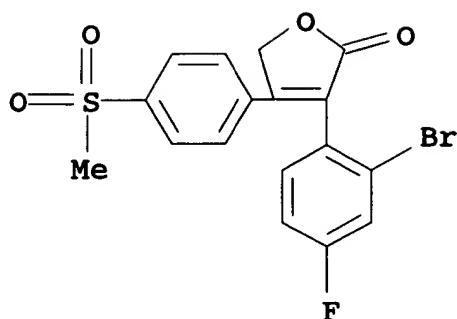
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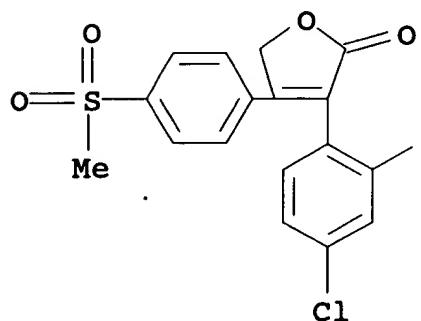
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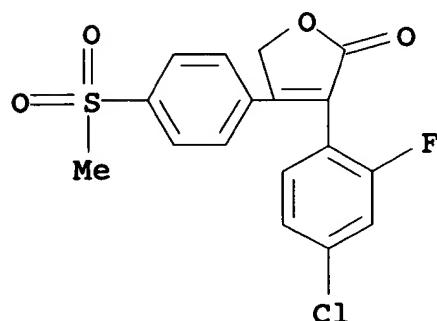
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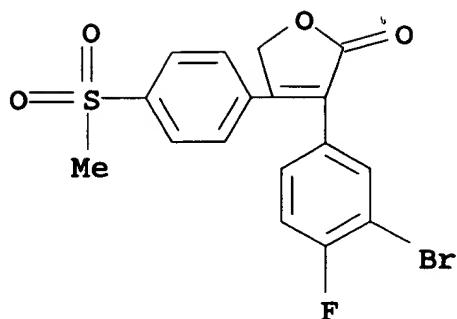
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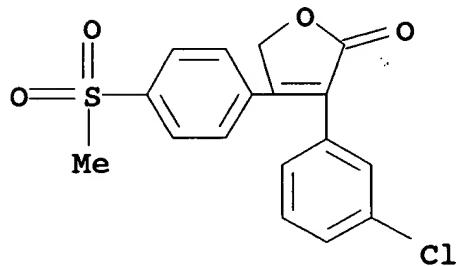
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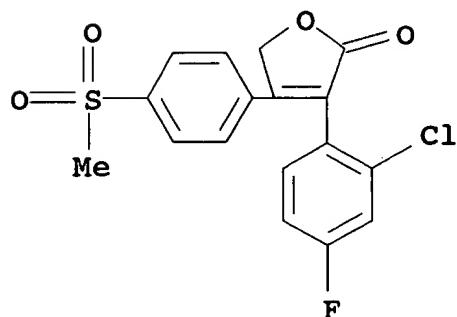
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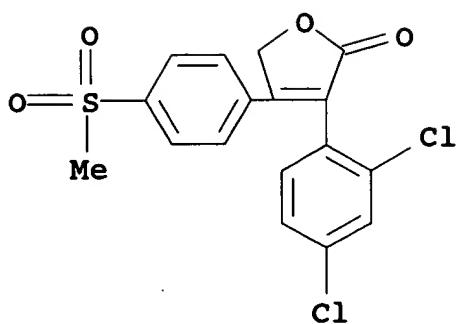
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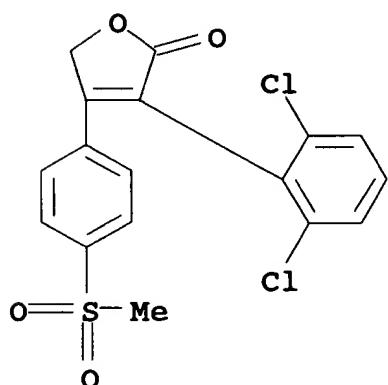
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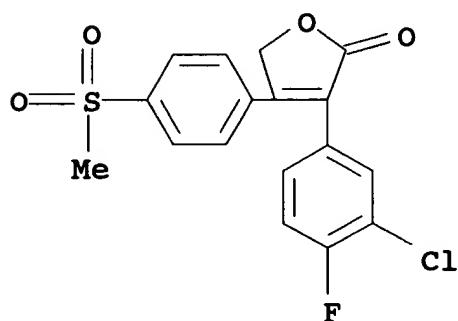
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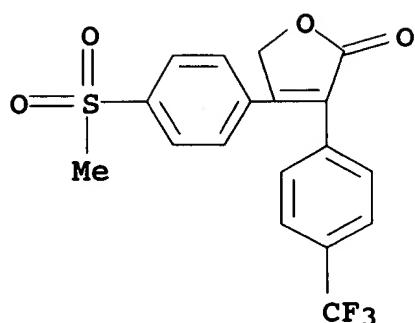
RN 162012-00-2 CAPLUS

CN 2(5H)-Furanone, 3-(3-chloro-4-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



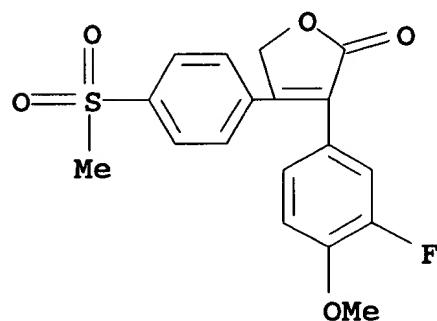
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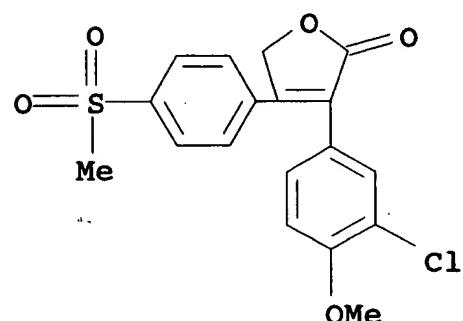
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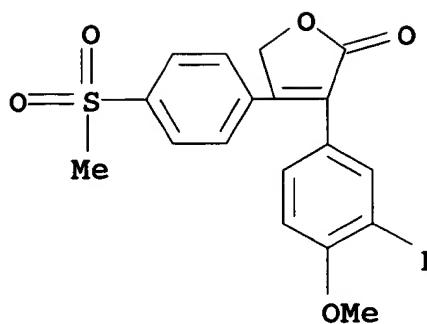
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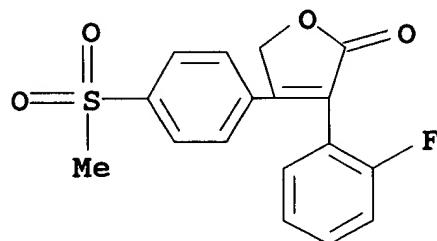
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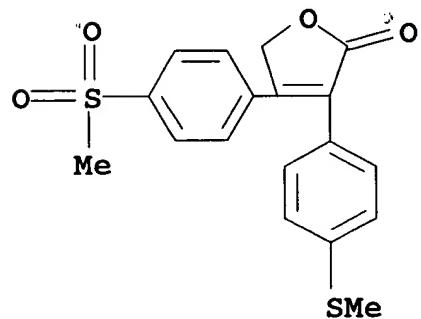
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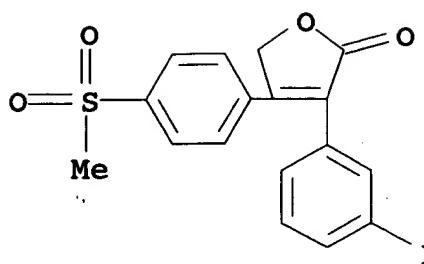
RN 162012-06-8 CAPLUS

CN 2(5H)-Furanone, 4-[4-(methylsulfonyl)phenyl]-3-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



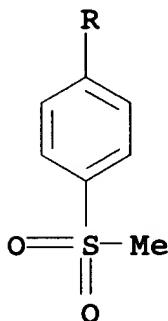
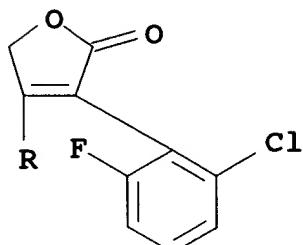
RN 162012-07-9 CAPLUS

CN 2(5H)-Furanone, 3-(3-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]-
(9CI) (CA INDEX NAME)



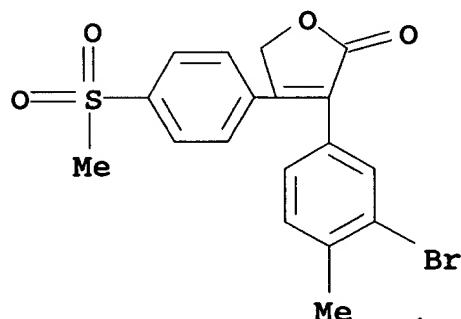
RN 162012-08-0 CAPLUS

CN 2(5H)-Furanone, 3-(2-chloro-6-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



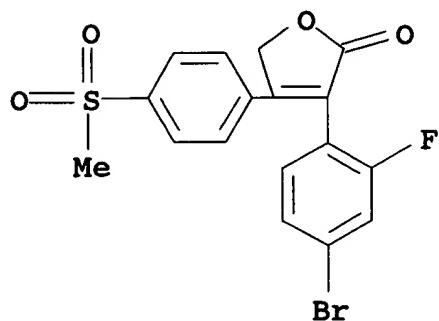
RN 162012-09-1 CAPLUS

CN 2(5H)-Furanone, 3-(3-bromo-4-methylphenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



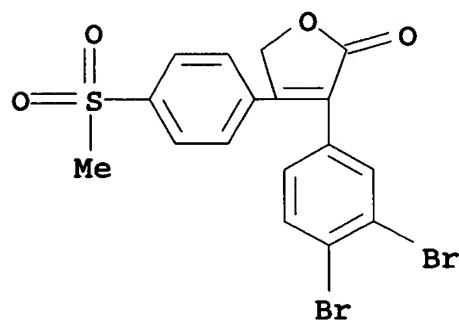
RN 162012-10-4 CAPLUS

CN 2(5H)-Furanone, 3-(4-bromo-2-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



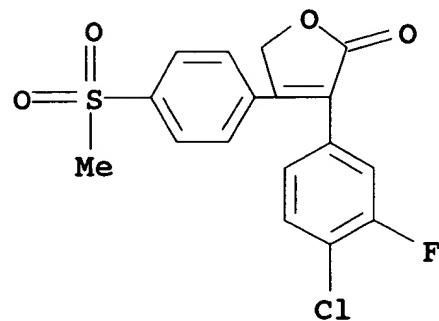
RN 162012-11-5 CAPLUS

CN 2(5H)-Furanone, 3-(3,4-dibromophenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



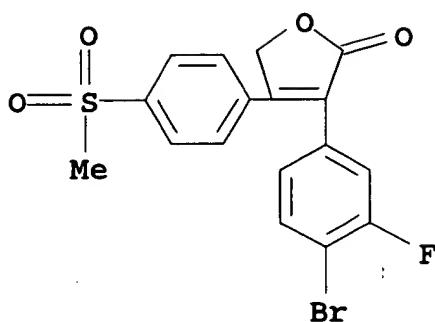
RN 162012-12-6 CAPLUS

CN 2(5H)-Furanone, 3-(4-chloro-3-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



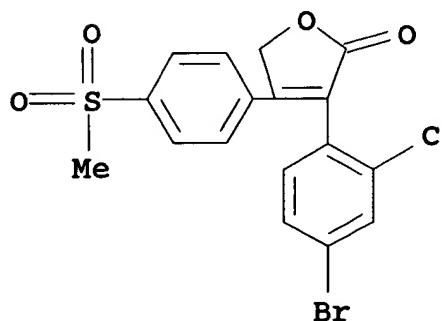
RN 162012-13-7 CAPLUS

CN 2(5H)-Furanone, 3-(4-bromo-3-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



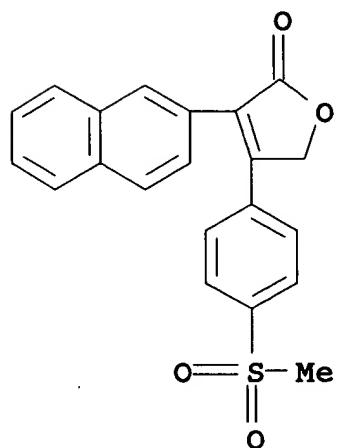
RN 162012-14-8 CAPLUS

CN 2(5H)-Furanone, 3-(4-bromo-2-chlorophenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



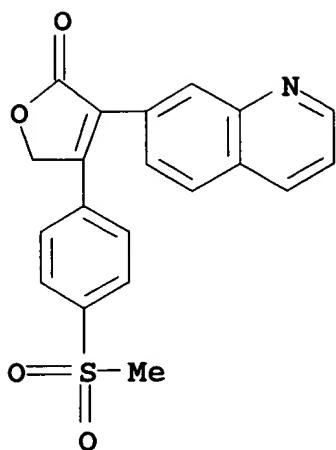
RN 162012-15-9 CAPLUS

CN 2(5H)-Furanone, 4-[4-(methylsulfonyl)phenyl]-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



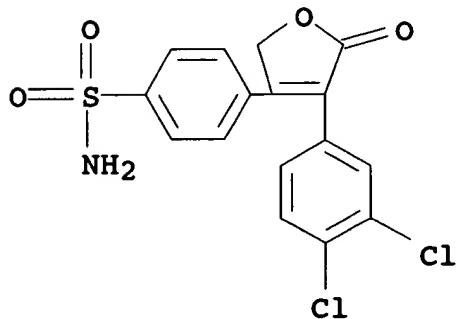
RN 162012-16-0 CAPLUS

CN 2(5H)-Furanone, 4-[4-(methylsulfonyl)phenyl]-3-(7-quinolinyl)- (9CI) (CA INDEX NAME)



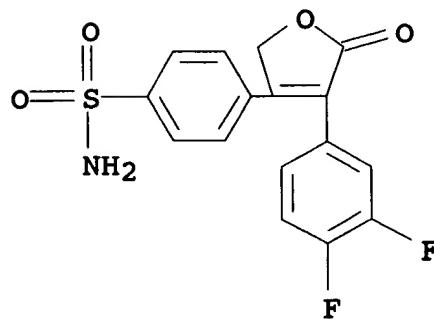
RN 162012-17-1 CAPLUS

CN Benzenesulfonamide, 4-[4-(3,4-dichlorophenyl)-2,5-dihydro-5-oxo-3-furanyl]- (9CI) (CA INDEX NAME)



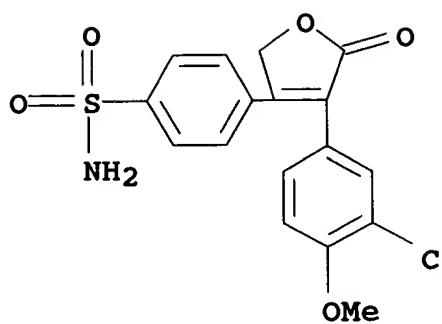
RN 162012-18-2 CAPLUS

CN Benzenesulfonamide, 4-[4-(3,4-difluorophenyl)-2,5-dihydro-5-oxo-3-furanyl]- (9CI) (CA INDEX NAME)



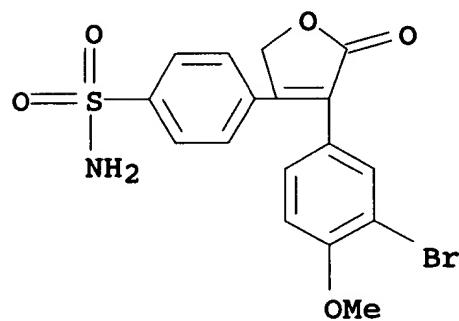
RN 162012-19-3 CAPLUS

CN Benzenesulfonamide, 4-[4-(3-chloro-4-methoxyphenyl)-2,5-dihydro-5-oxo-3-furanyl]- (9CI) (CA INDEX NAME)



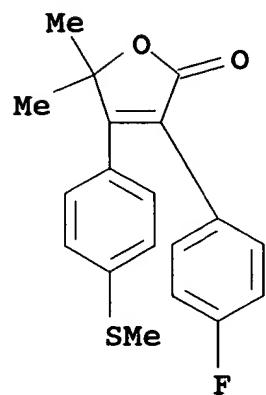
RN 162012-20-6 CAPLUS

CN Benzenesulfonamide, 4-[4-(3-bromo-4-methoxyphenyl)-2,5-dihydro-5-oxo-3-furanyl]- (9CI) (CA INDEX NAME)



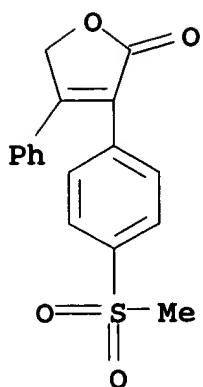
RN 162012-23-9 CAPLUS

CN 2(5H)-Furanone, 3-(4-fluorophenyl)-5,5-dimethyl-4-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

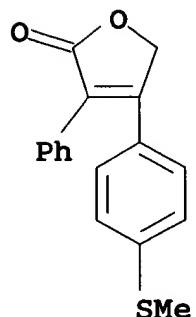


RN 162012-25-1 CAPLUS

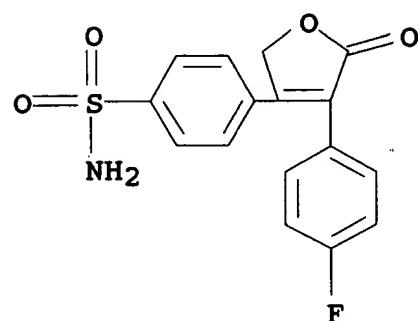
CN 2(5H)-Furanone, 3-[4-(methylsulfonyl)phenyl]-4-phenyl- (9CI) (CA INDEX NAME)



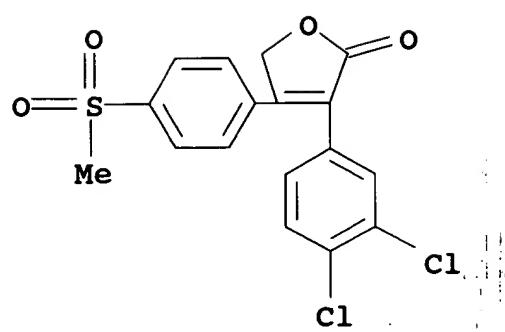
RN 162012-30-8 CAPLUS
CN 2(5H)-Furanone, 4-[4-(methylthio)phenyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 162012-33-1 CAPLUS
CN Benzenesulfonamide, 4-[4-(4-fluorophenyl)-2,5-dihydro-5-oxo-3-furanyl]- (9CI) (CA INDEX NAME)

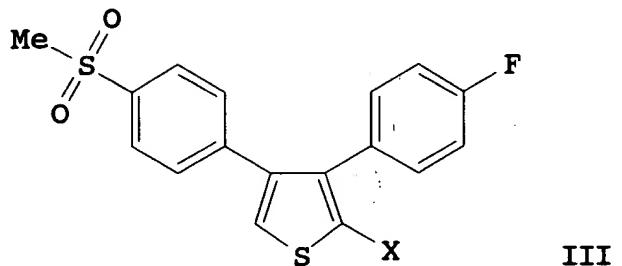
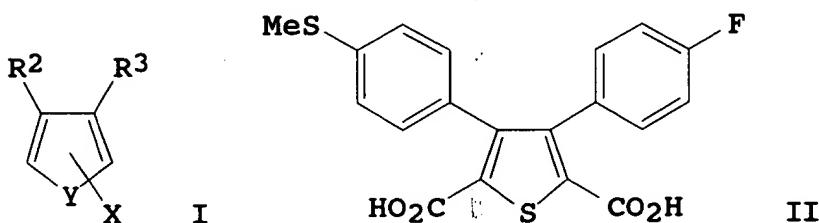


RN 162012-35-3 CAPLUS
CN 2(5H)-Furanone, 3-(3,4-dichlorophenyl)-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



=> D BIB ABS HITSTR 3

L17 ANSWER 3 OF 5 CAPLUS COPYRIGHT 1995 ACS
AN 1994:579484 CAPLUS
DN 121:179484
TI Novel 3,4-diaryl thiophenes and analogs thereof having use as antiinflammatory agents
IN Bertenshaw, Stephen R.; Collins, Paul W.; Penning, Thomas D.; Reitz, David B.; Rogers, Roland S.
PA Searle, G. D., and Co., USA
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
PI WO 9415932 A1 940721
DS W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU,
JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO,
RU, SD, SE, SK, UA, US, UZ, VN
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR,
IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG
AI WO 94-US466 940114
PRAI US 93-4822 930115
DT Patent
LA English
OS MARPAT 121:179484
GI



AB A class of 3,4-diaryl-substituted thiophene, furan, and pyrrole derivs. is disclosed, as well as pharmaceutical compns. contg. them, and methods of using them to treat inflammation and related disorders. Compds. of particular interest are I [Y = S, O, NR₁; R₁ = H, lower alkyl; X = 1 or 2 substituents selected from a large group, esp. H, halo, lower alkoxy carbonyl, CO₂H; R₂, R₃ = (independently) aryl or heteroaryl, optionally substituted with 1 or more radicals such as sulfamyl, alkylsulfonyl, halo, lower alkoxy and lower alkyl] and pharmaceutically acceptable salts thereof. For example, S(CH₂CO₂Me)₂ was cyclized with 4-FC₆H₄COCOC₆H₄(SMe)-4 in

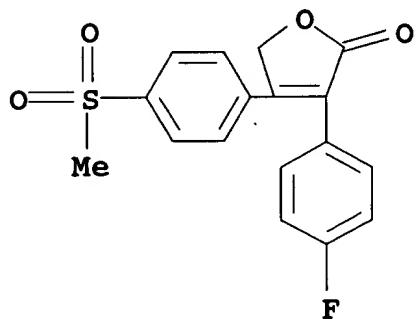
THF-MeOH contg. NaOMe at 65.degree. to give 82% of a mixt. of regioisomeric thiophenedicarboxylic acid monoesters, which were saponified by NaOH in aq. THF-MeOH to give diacid II. Double decarboxylation of II with Cu in quinoline at 180-200.degree. (89%) and S-oxidn. with MCPBA gave title compd. III (X = H), which was brominated by Br₂ in AcOH to give III (X = Br) plus the corresponding 2,5-dibromo compd. III (X = Br) at 10 mg/kg orally gave 30% inhibition in the carrageenan-induced rat-paw edema test. Data include 15 synthetic examples, rat-paw edema and analgesia tests, and in vitro tests for cyclooxygenase (I and II) and TXB₂ activity.

IT 157672-00-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of diarylthiophenes and
analogs as antiinflammatory agents)

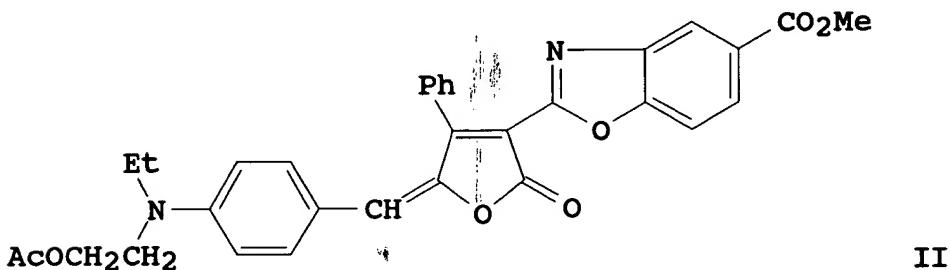
RN 157672-00-9 CAPLUS

CN 2(5H)-Furanone, 3-(4-fluorophenyl)-4-[4-(methylsulfonyl)phenyl]-
(9CI) (CA INDEX NAME)



=> D BIB ABS HITSTR 4

L17 ANSWER 4 OF 5 CAPLUS COPYRIGHT 1995 ACS
 AN 1994:273062 CAPLUS
 DN 120:273062
 TI Polyesters having copolymerized therein a light-absorbing compound,
 and compositions thereof
 IN Weaver, Max A.; Krutak, James J.; Coates, Clarence A., Jr.; Parham,
 William W.; Pruett, Wayne P.; Hilbert, Samuel D.
 PA Eastman Kodak Co., USA
 SO U.S., 45 pp.
 CODEN: USXXAM
 PI US 5274072 A 931228
 AI US 92-878273 920504
 DT Patent
 LA English
 GI



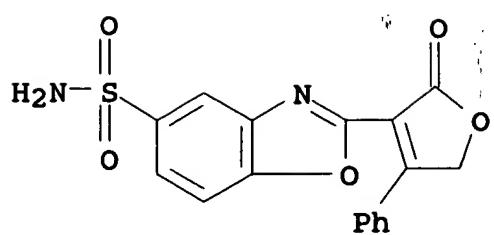
AB Substituted 2(5H)-furanones contg. a heteroaryl group in the 3-position and their derivs., useful as UV/visible light-absorbing compds., thermally stable with low volatility, were prep'd. and copolymd. in high-temp. polyester prepns. or blended with polymers. Me 3-amino-4-hydroxybenzoate was cyclocondensed with EtO₂CCH₂C(OEt):NH.HCl to give Et-(5-carbomethoxy-2-benzoxazolyl)acetate, which was cyclocondensed with PhCOCH₂OAc to give 3-(5-carbomethoxy-2-benzoxazolyl)-4-phenyl-2(5H)-furanone (I). Condensation of I with 4-AcOCH₂CH₂NEt₆H₄CHO gave II, λ_{\max} 520 nm. Copolymn. of II 1.34 with 1,4-butanediol 81.0 and di-Me terephthalate 116.18 g gave a red polyester with wt.-av. mol. wt. 17,356 and polydispersity 1.40.

IT 154438-00-3P

(prepn. of)

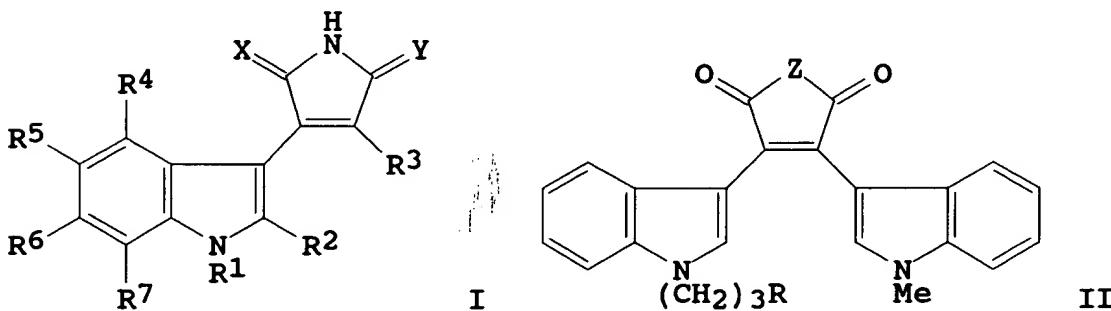
RN 154438-00-3 CAPLUS

CN 5-Benzoxazolesulfonamide, 2-(2,5-dihydro-2-oxo-4-phenyl-3-furanyl)-(9CI) (CA INDEX NAME)



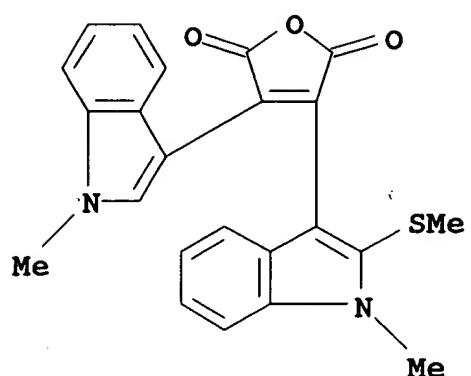
=> D BIB ABS HITSTR 5

L17 ANSWER 5 OF 5 CAPLUS COPYRIGHT 1995 ACS
 AN 1990:98378 CAPLUS
 DN 112:98378
 TI Preparation of 3-(3-indolyl)pyrrole-2,5-diones and analogs as protein kinase inhibitors
 IN Davis, Peter David; Hill, Christopher Huw; Lawton, Geoffrey
 PA Hoffmann-La Roche, F., und Co. A.-G., Switz.
 SO Eur. Pat. Appl., 38 pp.
 CODEN: EPXXDW
 PI EP 328026 A1 890816
 DS R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
 AI EP 89-102025 890206
 PRAI GB 88-3048 880210
 GB 88-27565 881125
 DT Patent
 LA German
 GI



AB The title compds. (I; R1, R2 = H, alkyl, aryl, etc.; R3 = aryl, heteroaryl; R4-R7 = H, halo, alkyl, alkoxy, etc.; 1 of X, Y = O and the other = S, H and OH, H and H) were prep'd. Thus, 1-(3-bromopropyl)indole (prepn. given) was stirred 2 h with (COCl)₂ in CH₂Cl₂ and the product stirred 3 h with 1-methyl-3-indolylacetic acid in CH₂Cl₂ contg. (Me₂CH)₂NEt to give bis(indolyl)furanone II (R = Br, Z = O) which was converted in 3 steps to II (R = NH₂, Z = NH). The latter was stirred 16 h with 1,1'-thiocarbonyldiimidazole in THF to give II (R = NCS, Z = NH) which had IC₅₀ of 0.008 .mu.M for inhibition of protein kinase C in vitro.

IT 125314-93-4P
 (prepn. and reaction of, in prepn. of protein kinase inhibitors)
 RN 125314-93-4 CAPLUS
 CN 2,5-Furandione, 3-(1-methyl-1H-indol-3-yl)-4-[1-methyl-2-(methylthio)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 11:09:35 ON 30 OCT 95
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FILE 'MEDLINE' ENTERED AT 11:09:35 ON 30 OCT 95

FILE 'BIOSIS' ENTERED AT 11:09:35 ON 30 OCT 95
COPYRIGHT (C) 1995 BIOSIS(R)

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(FILE 'CIN' ENTERED AT 10:27:46 ON 30 OCT 95)
DEL HIS Y
ACT JOHNMON/Q

L1 STR

FILE 'REGISTRY' ENTERED AT 10:48:47 ON 30 OCT 95
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E NC5/ESS(S)OC4/ESS(S)C6/ESS
L3 2 S L1 FUL

FILE 'REGISTRY' ENTERED AT 10:53:31 ON 30 OCT 95

FILE 'CAPLUS' ENTERED AT 10:53:38 ON 30 OCT 95
L4 1 S L3

FILE 'CAOLD, MEDLINE, BIOSIS' ENTERED AT 10:54:38 ON 30 OCT 95
L5 0 FILE CAOLD
L6 0 FILE MEDLINE
L7 0 FILE BIOSIS
TOTAL FOR ALL FILES
L8 0 S L3

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FILE 'REGISTRY' ENTERED AT 11:00:13 ON 30 OCT 95
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L10 0 S L9
L11 SCR 1840 AND 2005 AND 2021 AND 72
L12 7 S L9 AND L11
L13 STR L9
L14 5 S L9 AND L13 AND L11
L15 48 S L9 AND L13 AND L11 FUL

FILE 'CAPLUS' ENTERED AT 11:08:09 ON 30 OCT 95
L16 5 S L15

FILE 'REGISTRY' ENTERED AT 11:08:24 ON 30 OCT 95

FILE 'CAPLUS' ENTERED AT 11:08:31 ON 30 OCT 95

L17 5 S L15

FILE 'CAOLD, MEDLINE, BIOSIS' ENTERED AT 11:09:35 ON 30 OCT 95
L18 0 FILE CAOLD
L19 0 FILE MEDLINE
L20 0 FILE BIOSIS
TOTAL FOR ALL FILES
L21 0 S L15

FILE 'USPATFULL' ENTERED AT 11:12:09 ON 30 OCT 95
L22 2 S L15

FILE 'HCAPLUS' ENTERED AT 11:12:28 ON 30 OCT 95
L23 5 S L15
SELECT PRN L23 1-5
SELECT PN L23 1-5

FILE 'USPATFULL' ENTERED AT 11:12:57 ON 30 OCT 95
L24 0 S L22 NOT E1-10

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FILE 'HOME' ENTERED AT 11:13:56 ON 30 OCT 95